



IN THE UNITED STATES PATENT AND TRADEMARK OFFICE  
BEFORE THE BOARD OF PATENT APPEALS AND INTERFERENCES

IN RE APPLICATION

BOX: AF

OF: PEES ET AL.

CONFIRMATION NO.: 5506

SERIAL No. 09/840,488

GROUP ART UNIT: 1624

FILED: APRIL 23, 2001

EXAMINER: MARK L. BIRCH

FOR: FUNGICIDAL TRIFLUOROMETHYLALKYLAMINOTRIAZOLOPYRIMIDINES

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March 19, 2004

Date of Signature

Honorable Commissioner  
for Patents

Alexandria, VA 22313-1450

BRIEF ON APPEAL UNDER 37 C.F.R. §1.192

Sir:

This is an Appeal from the Examiner's final rejection of Claims 1 to 9, dated March 19, 2003. Claims 1 to 9 are currently pending.

REAL PARTY IN INTEREST:

The real party in interest is BASF Aktiengesellschaft, 67056 Ludwigshafen, Germany.

RELATED APPEALS AND INTERFERENCES:

To the best of the undersigned's knowledge, there are no related appeals or interferences within the meaning of 37 C.F.R. §1.192(c)(2).

STATUS OF THE CLAIMS:

The claims on Appeal before the Board of Patent Appeals and Interferences are Claims 1 to 9. A copy of these claims is found in the attached Appendix.

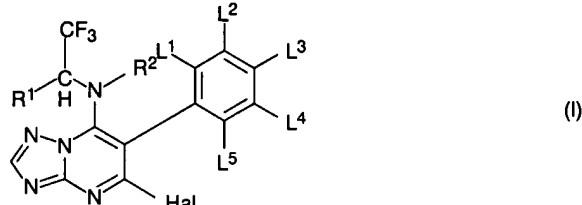
STATUS OF THE AMENDMENTS:

The cross-reference to prior applications was corrected and Claim 6 was amended under 37 C.F.R. §1.116 (reply dated August 19, 2003). In light of the Examiner's indication that the reply would not be entered (Advisory Action dated September 10, 2003), appellants have submitted a supplemental reply of even date with this appeal brief. The supplemental reply under 37 C.F.R. §1.116 seeks to correct the cross-reference to prior applications at the outset of the specification, and to correct a typographical error in Claim 9. Pending entry of the supplemental reply the claims stand as set forth in Appendix IV of the supplemental reply. The typographical error in Claim 9 and its correction have no bearing on the issue(s) presented in this brief.

No further amendments have been filed in this application after final rejection.

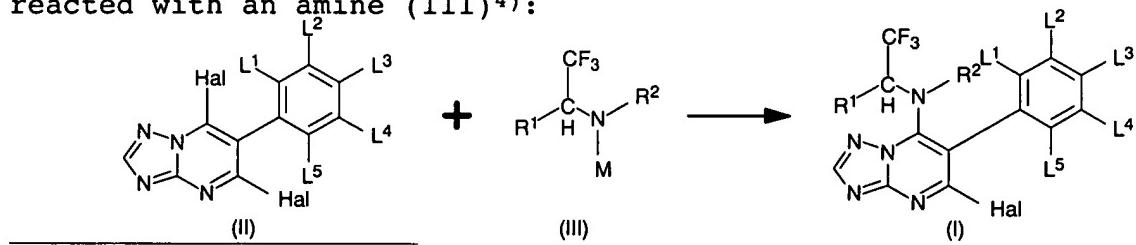
SUMMARY OF THE INVENTION:

Appellants' invention relates to a triazolopyrimidine compound of formula (I)<sup>1)</sup>



to a fungicidal composition comprising at least one of the compounds (I)<sup>2)</sup>, and to a method wherein the compound (I) is utilized for controlling fungus at a locus<sup>3)</sup>.

Further, appellants' invention provides for a process for the preparation of the compound (I) wherein a triazolopyrimidine (II) is reacted with an amine (III)<sup>4)</sup>:



- 1) Claims 1 to 5, and *inter alia* page 2, indicated line 13, to page 3, indicated line 3, of the application.
- 2) Claim 7, and *inter alia* page 7, indicated line 30, to page 10, indicated line 22, of the application.
- 3) Claim 8, and *inter alia* page 14, indicated lines 14 to 31, of the application.
- 4) Claims 6 and 9, and *inter alia* page 5, indicated line 30, to page 7, indicated line 26, of the application.

The reaction of the triazolopyrimidine (II) and the amine (III) belongs to the well known group of aromatic nucleophilic substitution reactions<sup>5)</sup>, and a generally applicable procedure for the substitution reaction which yields in appellants' compounds (I) is disclosed on page 7, indicated lines 5 to 15, of the application, and exemplified on page 15, indicated lines 4 to 14, of the application.

In accordance with appellants' process, the moiety represented by "M" in formula (III) is a hydrogen atom or a free or complexed metal atom, and the metal atom represented by M is preferably selected from the group consisting of Li, Na, K, Zn and Cu<sup>6)</sup>. Appellants' disclosure further provides that "The amines of formula III, wherein M represents a hydrogen atom, are well known in the literature or commercially available or may be prepared analogously to methods that are known per se. The amides of formula III, wherein M represents a metal atom are, as a rule, obtained from the corresponding amines (M = hydrogen) by reaction with an alkyl lithium compound optionally followed by a transmetallation reaction"<sup>7)</sup>. Like the aromatic nucleophilic substitution reaction, the replacement of a metal in an organometallic compound by another metal is well known in the art<sup>8)</sup>, and it is equally well known that a nucleophile with a negative charge, ie. Nu<sup>-</sup>, is an even more powerful nucleophile than the corresponding "protonated" compound, ie. NuH<sup>9)</sup>.

ISSUE(S) PRESENTED:

Whether the Examiner erred finding that appellants' Claim 9 lacks a disclosure which is sufficiently enabling within the meaning of 35 U.S.C. §112, ¶1.

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- 5) Note, for example, Jerry March "Advanced Organic Chemistry: Reactions, Mechanisms, and Structure", International Student Edition, 2<sup>nd</sup> Ed. 1977, McGraw-Hill, Inc., Chapter 13 "Aromatic Nucleophilic Substitution", Section C "Nitrogen Nucleophiles", Reaction 3-6 "Replacement by NH<sub>2</sub>, NHR, or NR<sub>2</sub>", pages 598 and 599, copy enclosed.
  - 6) Claims 6 and 9 and page 6, indicated lines 9 and 10, of the application.
  - 7) Page 7, indicated lines 21 to 26, of the application.
  - 8) Note, for example, Jerry March "Advanced Organic Chemistry: Reactions, Mechanisms, and Structure", International Student Edition, 2<sup>nd</sup> Ed. 1977, McGraw-Hill, Inc., Chapter 12 "Aliphatic Electrophilic Substitution", Section G "Metal Electrophiles", Reaction 2-33 "Replacement of a Metal with a Metal", page 564, copy enclosed.
  - 9) Note, for example, Jerry March "Advanced Organic Chemistry: Reactions, Mechanisms, and Structure", International Student Edition, 2<sup>nd</sup> Ed. 1977, McGraw-Hill, Inc., Chapter 10 "Aliphatic Nucleophilic Substitution", Subsection "The Effect of the Attacking Nucleophile", page 322, copy enclosed.

ADDITIONAL ISSUE(S):

With regard to the Examiner's rejection of Claims 1 to 9 under 35 U.S.C. §102(a) as being anticipated by *Pfrngle* (US 5,981,534) appellants have submitted an application to reissue U.S. Patent No. 6,255,309 which issued in the parent of the present application. The reissue application aims to correct the claim to priority in the parent case to include a claim to the priority of PCT/US 98/05615, filed on March 23, 1998. Pending issuance of the reissue application including the corrected claim to priority, the present application is entitled to an effective filing date which is prior to the earliest U.S. filing date of *Pfrengle*, and the teaching of *Pfrengle* is no longer applicable under Section 102(e). Also, in light of the terminal disclaimer which appellants submitted in *US 5,981,534*<sup>10</sup>), any rejection under the judicially created doctrine of double patenting is obviated. In light of the foregoing, it is respectfully solicited that the issue under Section 102(a) be held in abeyance.

GROUPING OF THE CLAIMS:

For the issue under Section 112, ¶1, Claim 9 stands and falls independently from Claims 1 to 8.

## A R G U M E N T S

The Examiner erred finding that appellants' disclosure of the subject matter of Claim 9 was insufficient under the provisions of 35 U.S.C. §112, ¶1, to enable a person of ordinary skill to make or use the claimed invention.

The Examiner has rejected Claim 9 under 35 U.S.C. §112, ¶1, contending that appellants' disclosure is insufficient to enable a person of ordinary skill in the art to prepare compounds (I) using an amine of formula (III)



in which M represents a hydrogen atom or a metal atom selected from

10) A copy of the Terminal Disclaimer was provided with appellants' submission dated January 27, 2003.

the group consisting of Li, Na, K, Zn and Cu. More particularly, the Examiner takes the position that "Zn is impossible. Formula III calls for a valence state of +1 .... Zn does not have a valence state of +1."

The purpose of the requirement that the specification describe the invention in such terms that one skilled in the art can make and use the claimed invention is to ensure that the invention is communicated to the interested public in a meaningful way. The information contained in the disclosure of an application must be sufficient to inform those skilled in the relevant art how to both make and use the claimed invention. Detailed procedures for making and using the invention may not be necessary if the description of the invention itself is sufficient to permit those skilled in the art to make and use the invention<sup>11)</sup>. Any analysis of whether a particular claim is supported by the disclosure in an application requires a determination of whether that disclosure, when filed, contained sufficient information regarding the subject matter of the claims as to enable one skilled in the pertinent art to make and use the claimed invention. The test of enablement is whether one reasonably skilled in the art could make or use the invention from the disclosure, coupled with information known in the art, without engaging in undue experimentation<sup>12)</sup>. It is not necessary in the context of the enablement requirement of Section 112, ¶1, that the application teach what is already well known in the art, and what is already within the ordinary skill in the pertinent art is preferably omitted<sup>13)</sup>.

The preparation of a compound (III) wherein M represents Zn is well known and a person of ordinary skill in the pertinent technology does not require more information than provided by appellants' disclosure. The mere fact that formula (III) appears to call for a metal having a valence state of +1 will not prompt a reasonable person of ordinary skill to engage in any experimentation, and such a person would not attempt to produce a compound (III) wherein M represents Zn in the valence state +1. Rather, a reasonable person of ordinary

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11) MPEP §2164

12) In re Wands, 858 F.2d 731, 8 USPQ2d 1400 (CAFC 1988); United States v. Teletronics, Inc., 857 F.2d 778, 8 USPQ2d 1217 (CAFC 1988)

13) In re Buchner, 929 F.2d 660, 661, 18 USPQ2d 1331, 1332 (CAFC 1991); Hybritech, Inc. v. Monoclonal Antibodies, Inc., 802 F.2d 1367, 1384, 231 USPQ 81, 94 (CAFC 1986), cert. denied, 480 U.S. 947 (1987); and Lindemann Maschinenfabrik GMBH v. American Hoist & Derrick Co., 730 F.2d 1452, 1463, 221 USPQ 481, 489 (CAFC 1984)

skill is well aware that the valence state of the metal has no impact on the success of the transmetallation reaction<sup>14)</sup>.

Furthermore, a reasonable person of ordinary skill in the art would not consider the valence state of the metal in appellants' formula (III) as critical or even significant with regard to the aromatic nucleophilic substitution which occurs when the compound (III) is reacted with the compound (II) in accordance with appellants' process. Rather, a reasonable person of ordinary skill is well aware that the nucleophilic character of the nitrogen in compound (III) is increased when a negative charge is present<sup>15)</sup>, and that metallated amines corresponding to appellants' formula (III) will react in essentially the same manner as the amines in the context of appellants' process<sup>16)</sup>.

A reasonable person of ordinary skill in the art would, therefore, not interpret appellants' formula (III) as requiring the presence of Zn in a valence state of +1. Moreover, a reasonable person of ordinary skill does not require information beyond the guidance given in appellants' disclosure in order to make an amine corresponding to appellants' formula (III) in which the hydrogen is replaced by Zn, or to use such a zinc compound to prepare the compound (I).

The mere fact that appellants' formula (III) appears to call for metal which has a valence state of +1 is therefore not deemed to support the Examiner's position that appellants disclosure is insufficient to enable a person of ordinary skill on the pertinent art to make and use the process defined in Claim 9.

#### C O N C L U S I O N

In light of the foregoing is respectfully urged that the Examiner erred rejecting Claim 9 under 35 U.S.C. §112, ¶1, for being based on a disclosure which is insufficient to enable a person of ordinary skill in the art to make and use the claimed invention. It is there-

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14) Note, for example, the explanations of *Jerry March* concerning reaction 2-33, page 564.

15) Note, for example, the explanations of *Jerry March* concerning the effect of the attacking nucleophile, page 322.

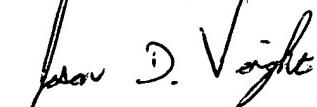
16) Note, for example, the explanations of *Jerry March* concerning reaction 3-6, pages 598 and 599.

fore requested that the Examiner's rejection be reversed. Favorable action is solicited.

Please charge any shortage in fees due in connection with the filing of this paper, including Extension of Time fees to Deposit Account No. 11.0345. Please credit any excess fees to such deposit account.

Respectfully submitted,

KEIL & WEINKAUF



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Encl.: THE CLAIMS ON APPEAL (Appendix I)

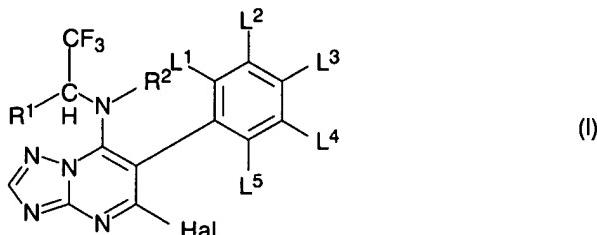
*Jerry March* "Advanced Organic Chemistry: ...", pages 322, 564, 598 and 599

HBK/BAS

## APPENDIX I:

THE CLAIMS ON APPEAL:

1. (previously presented) A compound of formula I



in which

R<sup>1</sup> represents a hydrogen or a methyl group;

R<sup>2</sup> represents a hydrogen atom or an optionally substituted C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>2</sub>-C<sub>10</sub>-alkenyl, C<sub>2</sub>-C<sub>10</sub>-alkynyl, C<sub>4</sub>-C<sub>10</sub>-alkadienyl or phenyl group, wherein the optional substituents are selected from the group consisting of nitro, cyano, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkenyl, C<sub>1</sub>-C<sub>6</sub>-haloalkyl, C<sub>3</sub>-C<sub>6</sub>-halocycloalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-halalkoxy, tri-C<sub>1</sub>-C<sub>4</sub>-alkylsilyl, phenyl, halophenyl, dihalophenyl and pyridyl;

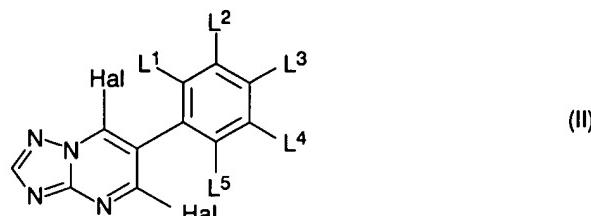
Hal represents a halogen atom; and

L<sup>1</sup> through L<sup>5</sup> each represent a hydrogen or halogen atom or an C<sub>1</sub>-C<sub>10</sub>-alkyl, C<sub>1</sub>-C<sub>10</sub>-alkoxy or nitro group, provided that at least one of L<sup>1</sup> through L<sup>5</sup> represents a nitro or alkoxy group.

2. (original) A compound according to claim 1 in which at least one of L<sup>1</sup> and L<sup>5</sup> represents a halogen atom.
3. (original) A compound according to claim 1 in which R<sup>2</sup> represents a hydrogen or a C<sub>1</sub>-C<sub>10</sub> alkyl group.
4. (original) A compound according to claim 1 in which at least one of R<sup>1</sup> and R<sup>2</sup> represents a hydrogen atom.
5. (amended) The compound of formula I defined in claim 1 which is selected from the group consisting of  
5-chloro-6-(4-methoxyphenyl)-7-(2,2,2-trifluoroethylamino)-[1,2,-4]triazolo[1,5-a]pyrimidine;  
5-chloro-6-(4-nitrophenyl)-7-(2,2,2-trifluoroethylamino)-[1,2,4]-triazolo[1,5-a]pyrimidine; and

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-[2-(1,1,1-trifluoro)-propyl]amino]-[1,2,4]triazolo[1,5-a]pyrimidine.

6. (previously presented) A process for the preparation of a compound of formula I as defined in claim 1, which process comprises:  
treating a compound of formula II



with an amine of formula III



in which M represents a hydrogen atom or a metal atom,  
to produce the compound of formula I.

7. (previously presented) A fungicidal composition which comprises a carrier, and as active agent, at least one compound of formula I as defined in claim 1.
8. (previously presented) A method of combating fungus at a locus which comprises treating the locus with a fungicidally effective amount of a compound of formula I as defined in claim 1.
9. (previously presented) The process of claim 6 wherein the metal atom represented by M is selected from the group consisting of Li, Na, K, Zn and Cu.